



IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

Applicants : R. Fischer et al.
Serial No. : 10/765,249
Filed : January 27, 2004
For : COMBINATIONS OF ACTIVE INGREDIENTS, WITH
INSECTICIDAL AND ACARICIDAL PROPERTIES
Group Art Unit : 1616
Examiner :

DECLARATION

Dr. Wolfgang Thielert hereby declares:

- that he is an agronomist having studied at the University of Bonn, Germany;
- that he received his doctor's degree in agriculture at the University of Bonn, Germany in 1984;
- that he entered the employ of Bayer in 1984;
- that he has specialized in plant protection (phytopharmacology);
- that the following tests have been carried out under his supervision and direction



Formula for the efficacy of the combination of two compounds

The expected efficacy of a given combination of two compounds is calculated as follows (see Colby, S.R., „Calculating Synergistic and Antagonistic Responses of Herbicide Combinations“, Weeds 15, pp. 20-22, 1967):

If

X is the efficacy expressed in % mortality of the untreated control for test compound A at a concentration of m ppm,

Y is the efficacy expressed in % mortality of the untreated control for test compound B at a concentration of n ppm,

E is the efficacy expressed in % mortality of the untreated control using the mixture of A and B at m and n ppm,

$$X \times Y$$

then is
$$E = X + Y - \frac{XY}{100}$$

If the observed insecticidal efficacy of the combination is higher than the one calculated as „E“, then the combination of the two compounds is more than additive, i.e., there is a synergistic effect.

Example A

Heliothis armigera test

Solvent: 7 parts by weight of dimethylformamide
Emulsifier: 2 parts by weight of alkylaryl polyglycolether

To produce a suitable preparation of active compound, 1 part by weight of active compound is mixed with the stated amount of solvent and emulsifier, and the concentrate is diluted with emulsifier-containing water to the desired concentration.

Soybean shoots (*Glycine max*) are treated by being dipped into the preparation of the active compound of the desired concentration and are infested with larvae of the cotton boll worm (*Heliothis armigera*) as long as the leaves are still moist.

After the specified period of time, the mortality in % is determined. 100 % means that all the caterpillars have been killed; 0 % means that none of the caterpillars have been killed.

According to the present application in this test e.g. the following combinations show a synergistic effect in comparison to the single compounds:

Table A
plant damaging insects
Heliothis armigera - test

active compound	active compound concentration in ppm	mortality in % after 6d
Abamectin		
	0,032	10
Spiromesifen		
	100	0
Abamectin + Spiromesifen (1:3125)		
according to the invention		
	<u>0,032 + 100</u>	<u>obs.*</u> <u>cal.**</u> 50 10
Diafenthiuron		
	20	40
Spiromesifen		
	100	0
Diafenthiuron + Spiromesifen (1:5)		
according to the invention		
	<u>20 + 100</u>	<u>obs.*</u> <u>cal.**</u> 60 40
Spinosad		
	0,16	10
Spiromesifen		
	100	0
Spinosad + Spiromesifen (1:625)		
according to the invention		
	<u>0,16 + 100</u>	<u>obs.*</u> <u>cal.**</u> 90 10

*obs. = observed insecticidal efficacy

** cal. = efficacy calculated with Colby-formula

Example B

Phaedon cochleariae - larvae

Solvent: 7 parts by weight of dimethylformamide
Emulsifier: 2 parts by weight of alkylaryl polyglycolether

To produce a suitable preparation of active compound, 1 part by weight of active compound is mixed with the stated amount of solvent and emulsifier, and the concentrate is diluted with emulsifier-containing water to the desired concentration.

Cabbage leaves (*Brassica oleracea*) are treated by being dipped into the preparation of the active compound of the desired concentration and are infested with larvae of the mustard beetle (*Phaedon cochleariae*) as long as the leaves are still moist.

After the specified period of time, the mortality in % is determined. 100 % means that all the beetle larvae have been killed; 0 % means that none of the beetle larvae have been killed.

According to the present application in this test e.g. the following combinations show a synergistic effect in comparison to the single compounds:

Table B

plant damaging insects
Phaedon cochleariae larvae - test

active compound	active compound concentration in ppm	mortality in % after 6d
Abamectin		
	0,8	85
Spiromesifen		
	100	0
Abamectin + Spiromesifen (1:125)		
according to the invention	0,8 + 100	<u>obs.*</u> <u>cal.**</u> 95 85

Table B

plant damaging insects
Phaedon cochleariae larvae - test

active compound	active compound concentration in ppm	mortality in % after 6d
Fenpyroximate	4	10
Spiromesifen	100	10
Fenpyroximate + Spiromesifen (1:25)		
according to the invention	4 + 100	<u>obs.*</u> <u>cal.**</u> 50 19
Spinosad		
known	0,16	25
Spiromesifen		
known	100	0
Spinosad + Spiromesifen (1:625)		
according to the invention	0,16 + 100	<u>obs.*</u> <u>cal.**</u> 70 25

*obs. = observed insecticidal efficacy

** cal. = efficacy calculated with Colby-formula

Example C

Plutella test (normal strain)

Solvent: 7 parts by weight of dimethylformamide
Emulsifier: 2 parts by weight of alkylaryl polyglycolether

To produce a suitable preparation of active compound, 1 part by weight of active compound is mixed with the stated amount of solvent and emulsifier, and the concentrate is diluted with emulsifier-containing water to the desired concentration.

Cabbage leaves (*Brassica oleracea*) are treated by being dipped into the preparation of the active compound of the desired concentration and are infested with larvae of the diamondback moth (*Plutella xylostella*/normal strain) as long as the leaves are still moist.

After the specified period of time, the mortality in % is determined. 100 % means that all the caterpillars have been killed; 0 % means that none of the caterpillars have been killed.

According to the present application in this test e.g. the following combinations show a synergistic effect in comparison to the single compounds:

Table C

plant damaging insects
Plutella xylostella (normal strain) - test

active compound	active compound concentration in ppm	mortality in % after 6 ^d
Azocyclotin	100	0
Spiromesifen	100	30
Azocyclotin + Spiromesifen (1:1)		
according to the invention		
	<u>100 + 100</u>	<u>obs.*</u> <u>cal.**</u> 95 30
active compound	active compound concentration in ppm	mortality in % after 3 ^d
Fenpyroximate	4	10
Spiromesifen	100	35
Fenpyroximate + Spiromesifen (1:25)		
according to the invention		
	<u>4 + 100</u>	<u>obs.*</u> <u>cal.**</u> 85 41,5

*obs. = observed insecticidal efficacy

** cal. = efficacy calculated with Colby-formula

Table C

plant damaging insects
Plutella xylostella (normal strain) - test

active compound	active compound concentration in ppm	mortality in % after 6 ^d
Spinosad		
known	0,032	80
Spiromesifen		
known	100	15
Spinosad + Spiromesifen (1:3125)		
according to the invention	0,032 + 100	<u>obs.*</u> <u>cal.**</u> 100 83

*obs. = observed insecticidal efficacy

** cal. = efficacy calculated with Colby-formula

Example D

Plutella xylostella test (resistant strain)

Solvent: 7 parts by weight of dimethylformamide
Emulsifier: 2 parts by weight of alkylaryl polyglycolether

To produce a suitable preparation of active compound, 1 part by weight of active compound is mixed with the stated amount of solvent and emulsifier, and the concentrate is diluted with emulsifier-containing water to the desired concentration.

Cabbage leaves (*Brassica oleracea*) are treated by being dipped into the preparation of the active compound of the desired concentration and are infested with larvae of the diamondback moth (*Plutella xylostella*/resistant strain) as long as the leaves are still moist.

After the specified period of time, the mortality in % is determined. 100 % means that all the caterpillars have been killed; 0 % means that none of the caterpillars have been killed.

According to the present application in this test e.g. the following combinations show a synergistic effect in comparison to the single compounds:

Table D

plant damaging insects
Plutella xylostella (resistant strain) - test

active compound	active compound concentration in ppm	mortality in % after 3d
Fenpyroximate		
known		
	20	40
Spiromesifen		
known		
	100	15
Fenpyroximate + Spiromesifen (1:5)		
according to the invention		
		<u>obs.*</u> <u>cal.**</u>
	20 + 100	80 49

*obs. = observed insecticidal efficacy

** cal. = efficacy calculated with Colby-formula

Example F

Spodoptera frugiperda test

Solvent: 7 parts by weight of dimethylformamide

Emulsifier: 2 parts by weight of alkylaryl polyglycoether

To produce a suitable preparation of active compound, 1 part by weight of active compound is mixed with the stated amount of solvent and emulsifier, and the concentrate is diluted with emulsifier-containing water to the desired concentration.

Cabbage leaves (*Brassica oleracea*) are treated by being dipped into the preparation of the active compound of the desired concentration and are infested with caterpillars of the fall army worm (*Spodoptera frugiperda*) while the leaves are still moist.

After the specified period of time, mortality in % is determined. 100 % means that all the caterpillars have been killed; 0 % means that none of the caterpillars have been killed.

According to the present application in this test e.g. the following combinations show a synergistic effect in comparison to the single compounds:

Table F

plant damaging insects
Spodoptera frugiperda - test

active compound	active compound concentration in ppm	mortality in % after 6 ^d
Abamectin		
	4	85
Spiromesifen		
	100	25
Abamectin + Spiromesifen (1:25)		
according to the invention		
	4 + 100	<u>obs.*</u> 95 <u>cal.**</u> 88,75
 Fenpyroximate		
active compound	active compound concentration in ppm	mortality in % after 3 ^d
	100	25
Spiromesifen		
	500	40
Fenpyroximate + Spiromesifen (1:5)		
according to the invention		
	100 + 500	<u>obs.*</u> 100 <u>cal.**</u> 55

*obs. = observed insecticidal efficacy

** cal. = efficacy calculated with Colby-formula

Example G

Tetranychus test (OP-resistant/dip test)

Solvent: 7 parts by weight of dimethylformamide
Emulsifier: 1 part by weight of alkylaryl polyglycolether

To produce a suitable preparation of active compound, 1 part by weight of active compound is mixed with the stated amount of solvent and emulsifier, and the concentrate is diluted with emulsifier-containing water to the desired concentration.

Bean plants (*Phaseolus vulgaris*) which are heavily infested with all stages of the two-spotted spider mite (*Tetranychus urticae*) are treated by being dipped into the preparation of the active compound of the desired concentration.

After the specified period of time, mortality in % is determined. 100 % means that all the spider mites have been killed; 0 % means that none of the spider mites have been killed.

According to the present application in this test e.g. the following combinations show a synergistic effect in comparison to the single compounds:

Table G
plant damaging mites
Tetranychus urticae - test

active compound	active compound concentration in ppm	mortality in % after 7d
Abamectin		
	0,032	95
Spiromesifen		
	0,032	0
Abamectin + Spiromesifen (1:1)		
according to the invention	0,032 + 0,032	<u>obs.*</u> <u>cal.**</u> 100 95

Table G
plant damaging mites
Tetranychus urticae - test

active compound	active compound concentration in ppm	mortality in % after 7d
Spinosad	4	0
Spiromesifen	0,8	40
Spinosad + Spiromesifen (5 : 1)		
according to the invention	4 + 0,8	<u>obs.*</u> <u>cal.**</u> 65 40

*obs. = observed insecticidal efficacy

** cal. = efficacy calculated with Colby-formula

The undersigned declarant hereby declares that all statements made herein of his own knowledge are true and that all statements made on information and belief are believed to be true; and further that these statements were made with the knowledge that willful false statements and the like so made are punishable by fine or imprisonment, or both, under Section 1001 of Title 18 of the United States Code and that such willful false statements may jeopardize the validity of the application or any patent issued thereon.

Monheim, 15.6.2005

Date

Wolfgang Thielert

Dr. Wolfgang Thielert